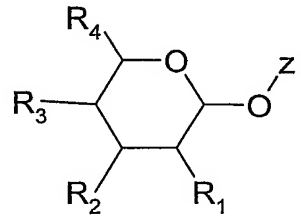
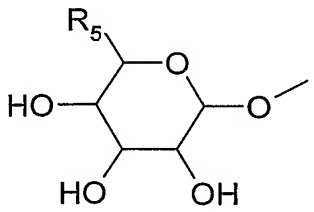
CLAIMS

1. A method of treatment of a condition associated with raised activity of the enzyme core 2 GlcNAc-T comprising administration of an effective amount of a compound of the formula I to a patient in need thereof.



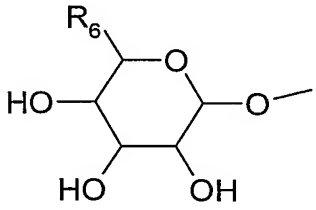
I

wherein R_1 is -OH, C_{1-6} alkoxy, $-NR_8R_9$, or a monosaccharide of the formula IIa;



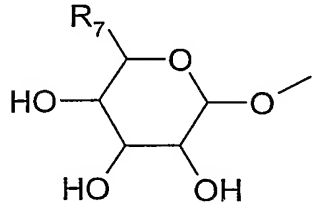
IIa

R_2 is –OH, C_{1-6} alkoxy or a monosaccharide of the formula IIb:



IIb

R_3 is -OH, C_{1-6} alkoxy or a monosaccharide of the formula IIc;



IIc

R_4 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6}-alkoxy-C_{1-6}-alkyl;

R_5 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6}-alkoxy-C_{1-6}-alkyl;

R_6 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6}-alkoxy-C_{1-6}-alkyl;

R_7 is C_{2-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6}-alkoxy-C_{1-6}-alkyl;

R_8 is H, C_{1-6} alkyl or C_{1-6} acyl;

R_9 is H, C_{1-6} alkyl or C_{1-6} acyl; and

Z is a steroid group;

or a pharmaceutically acceptable salt, ester or tautomeric form or derivative thereof.

2. A method of treatment as described in claim 1 in which R_1 is a monosaccharide of the formula IIa.

3. A method of treatment as described in claim 2 in which R_5 is C_{1-6} alkyl or C_{1-6} hydroxyalkyl.

4. A method of treatment as described in claim 2 in which R_5 is $-CH_3$, $-C_2H_5$, $-CH_2OH$ or $-C_2H_4OH$.

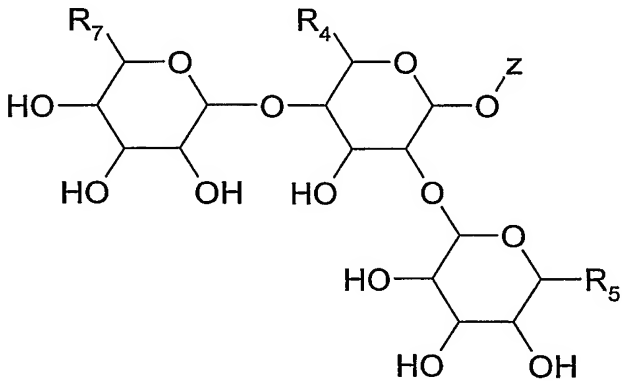
5. A method of treatment as described in claim 1 in which R_3 is a monosaccharide of the formula IIc.

6. A method of treatment as described in claim 5 in which R_7 is C_{1-6} hydroxyalkyl or C_{1-6}-alkoxy-C_{1-6}-alkyl.

7. A method of treatment as described in claim 5 in which R_7 is $-CH_2OH$ or C_{1-6} alkoxymethyl.

8. A method of treatment as described in claim 5 in which R_7 is $-CH_2OH$.

9. A method of treatment as described in claim 1 in which the compound of the formula I is a compound of the formula III:



III

wherein:

R_4 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6}-alkoxy-C_{1-6}-alkyl;

R_5 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6}-alkoxy-C_{1-6}-alkyl; and

R_7 is C_{2-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6}-alkoxy-C_{1-6}-alkyl.

10. A method of treatment as described in claim 9 in which R_4 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl.

11. A method of treatment as described in claim 9 in which R_4 is $-CH_2OH$ or$-CH_3$.

12. A method of treatment as described in claim 9 in which R_5 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl.

13. A method of treatment as described in claim 9 in which R_5 is $-CH_3$, $^-C_2H_5$, $-CH_2OH$ or $-C_2H_4OH$.

14. A method of treatment as described in claim 9 in which R_7 is C_{1-6} hydroxyalkyl or C_{1-6}-alkoxy-C_{1-6}-alkyl.

15. A method of treatment as described in claim 9 in which R_7 is $-CH_2OH$ or C_{1-6} alkoxymethyl.

16. A method of treatment as described in claim 9 in which R_7 is $-CH_2OH$.

17. A method as described in claim 9 wherein compounds of the formula III are compounds of the formula I wherein:

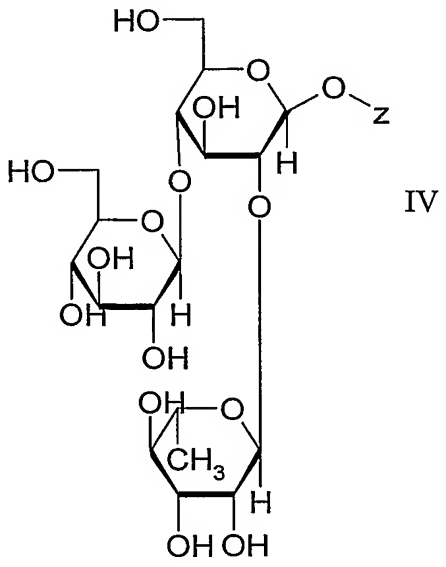
R_1 is rhamnose; .

R_2 is –OH; .

R_3 is glucose; and

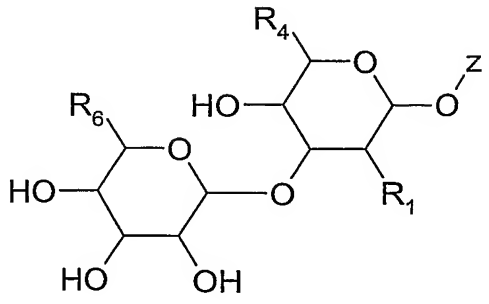
R4 is CH_2OH.

18.A method as described in claim 9 wherein compounds of the formula III are compounds of the formula IV



IV

19. A method as described in claim 1 in which the compound of the formula I is a compound of the formula V:



V

wherein:

R_1 is OH, C_{1-6} alkoxy or NR_8R_9, or a monosaccharide of the formula IIa:

R_4 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6}-alkoxy-C_{1-6}-alkyl;

R_5 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6}-alkoxy-C_{1-6} alkyl;

R_6 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6}-alkoxy-C_{1-6}-alkyl;

R_8 is H, C_{1-6} alkyl or C_{1-6} acyl;

R_9 is H, C_{1-6} alkyl or C_{1-6} acyl; and

Z is a steroid group.

20. A method as described in claim 19 in which R_1 is OH, or NR_8R_9.

21. A method as described in claim 19 in which R_1 is NR_8R_9;

R_8 is H, C_{1-6} alkyl or C_{1-6} acyl; and

R_9 is H, C_{1-6} alkyl or C_{1-6} acyl.

22. A method as described in claim 19 in which R_1 is NR_8R_9;

R_8 is H; and

R_9 is H, C_{1-6} alkyl or C_{1-6} acyl.

23. A method as described in claim 19 in which R_1 is NR_8R_9

R_8 is H; and

R_9 is C_{1-6} acyl.

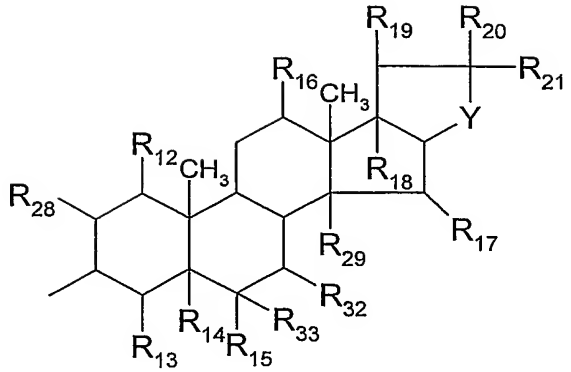
23. A method as described in claim 19 in which R_1 is NR_8R_9;

R_8 is H; and

R_9 is -$COCH_3$

24.A method as described in claim 19 in which the compound of formula IV is Galβ1→3(6-deoxy)GalNAcα-Z.

25. A method according to claim 1 in which the steroid group is a group of the formula VII:



VII

wherein:

R_{12} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

R_{13} is H, -OH, =O, or C_{1-6} alkyl;

R_{14} is H, -OH or C_{1-6} alkyl or R_{14} and R_{33} taken together represent the second bond of a double bond joining adjacent carbon atoms;

R_{15} is H, or -OH, or R_{15} and R_{33} taken together are =O;

R_{16} is H, -OH or =O;

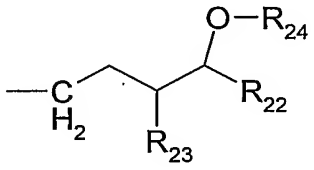
R_{17} is H, -OH or =O;

R_{18} is H, -OH, C_{1-6} alkoxy or C_{1-6} alkyl;

R_{19} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

R_{20} is H, -OH, C_{1-6} alkoxy or C_{1-6} alkyl;

R_{21} is H, -OH, C_{1-6} alkyl, C_{1-6} alkoxy or is a group of the formula VIII:



VIII

R_{22} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

R_{23} is H, -OH, C_{1-6} alkyl, C_{1-6} hydroxyalkyl, C_{1-6}-alkoxy-C_{1-6}-alkyl, $=CH_2$ or =CH-C_{1-6}-alkyl;

R_{24} is H, C_{1-6} alkyl, C_{1-6} acyl or a monosaccharide MS;

R_{28} and R_{29} are the same or different and are H or -OH;

R_{32} is H, -OH or =O;

R_{33} is H, or R_{33} and R_{15} taken together are =O, or R33 and R14 taken together represent the second bond of a double bond joining adjacent carbon atoms; MS is

selected from a group consisting of rabinose, xylose, lyxose, ribose, glucose, mannose, galactose, allose, altrose, gulose, idose, talose, ribulose, xylulose, fructose, sorbose, tagatose, psicose, sedoheptulose, deoxyribose, fucose, rhamnose, 2-deoxy-glucose, quinovose, abequose, glucosamine, mannosamine, galactosamine, neurminic acid, muramic acid, N-acetyl-glucosamine, N-acetyl-mannosamine, N-acetyl-galactosmine, N-acetylneuraminic acid, N-acetylmuramic acid, O-acetylneuraminic acid, N-glycolylneuraminic acid, fructuronic acid, tagaturonic acid, glucuronic acid, mannuronic acid, galacturonic acid, iduronic acid, sialic acid and guluronic acid; and

Y is N or O;.

26. A method according to claim 25 in which Y is O.

27 A method according to claim 25 in which R_{21} is a group of the formula VIII.

28 A method according to claim 27 in which R_{24} is C_{1-6} alkyl, C_{1-6}acyl or a monosaccharide MS.

29 A method according to claim 27 in which R_{24} is C_{1-6}acyl or a monosaccharide MS.

30. A method according to claim 27 in which R_{24} a monosaccharide MS

31. A method according to claim 28, 29 or 30 in which MS is selected from the group consisting of glucose, galactose, mannose, fucose, N-acetyl-glucosamine, N-acetyl-galactosamine and sialic acid.

32 A method according to claim 28, 29 or 30 in which MS is glucose.

33 A method according to claim 27 in which R_{23} is C_{1-6} alkyl, C_{1-6}hydroxyalkyl, C_{1-6}-alkoxy-C_{1-6}-alkyl, $=CH_2$ or $=CH$-C_{1-6}-alkyl.

34 A method according to claim 27 in which R_{23} is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or $=CH_2$.

35 A method according to claim 27 in which R_{23} is $-C_2H_4OH$, $-CH_2OH$, C_{1-6} alkyl, or $=CH_2$.

36 A method according to claim 27 in which R_{23} is $-C_2H_4OH$, $-CH_2OH$, $-C_2H_5$, $-CH_3$ or $=CH_2$

37. A method according to claim 27 in which R_{23} is $-CH_3$.

38. A method according to claim 27 in which R_{23} is $=CH_2$.

39. A method of claim 27 in which R_{22} is H, -OH, or C_{1-6} alkoxy.

40. A method of claim 27 in which R_{22} is H.

41. A method of claim 25 in which R_{19} is H, -OH, or C_{1-6} alkyl;.

42. A method of claim 25 in which:

R_{12} is H, -OH

R_{13} is H or -OH;

R_{14} is H, or -OH or R_{14} and R_{33} taken together represent the second bond of a double bond joining adjacent carbon atoms;

R_{15} is H, or R_{15} and R_{33} taken together are =O;

R_{18} is H, -OH or C_{1-6} alkoxy

R_{19} is C_{1-6} alkyl;

R_{20} is H, -OH or C_{1-6} alkoxy;

R_{32} is H, -OH or =O; and

R_{33} is H, or R_{33} and R_{15} taken together are =O, or R_{33} and R_{14} taken together represent the second bond of a double bond joining adjacent carbon atoms.

43. A method of claim 25 in which:

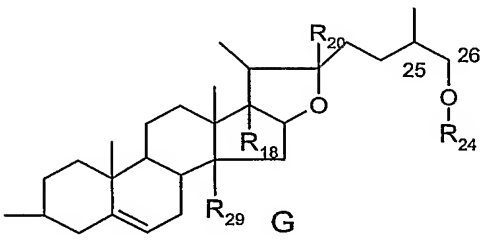
R_{16} is H or =O;

R_{17} is H or -OH;

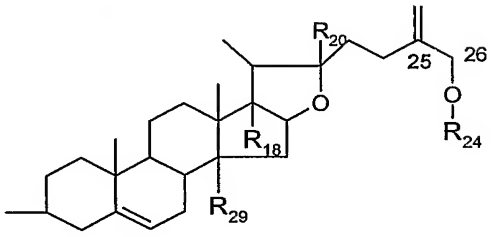
R_{18} is H or -OH; and

R_{20} is -OH or C_{1-6} alkoxy.

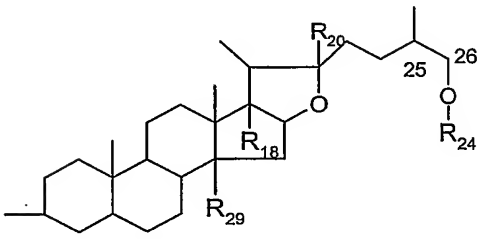
44 a method of claim 25 in which the steroid group is selected from a group consisting of:



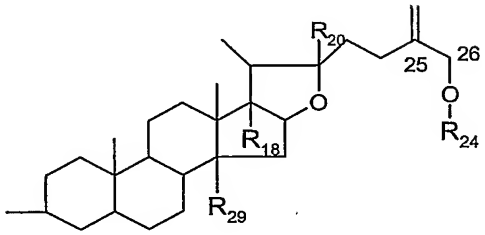
G



H



I



J

wherein:

R_{18} is H or -OH;

R_{20} is -OH or C_{1-6} alkoxy;

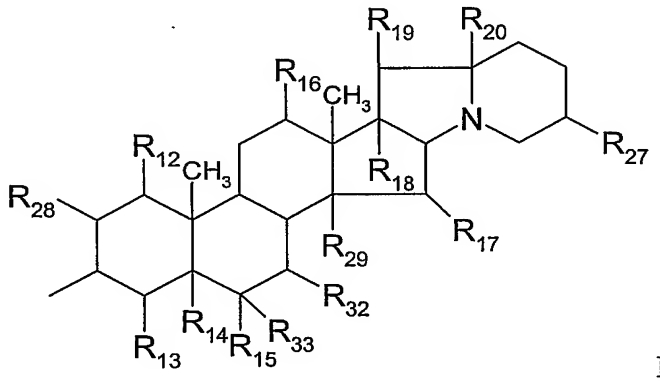
R_{24} is glucose or C_{1-6} acyl; and

R_{29} is H or -OH.

45. A method of claim 1 in which the compound of the formula I is selected from the group consisting of

trigoneoside IVa which is (3β,25S)-26-(β-D-glucopyranosyloxy)-22-hydroxyfurost-5-en-3-yl-O-α-L-rhamnopyranosyl-(1→2)-O-[β-D-glucopyranosyl-(1→4)]-β-D-glucopyranoside, glycoside F which is (3β)-26-(β-D-glucopyranosyloxy)-22-hydroxyfurost-5-en-3-yl-O-α-L-rhamnopyranosyl-(1→2)-O-[β-D-glucopyranosyl-(1→4)]-β-D-glucopyranoside, shatavarin I, compound 3, pardarinoside C .

46. A method according to claim 1 in which the steroid group is a group of the formula VIII:



IX

wherein:

R_{12} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

R_{13} is H, -OH, =O, or C_{1-6} alkyl;

R_{14} is H, -OH or C_{1-6} alkyl or R_{14} and R_{33} taken together represent the second bond of a double bond joining adjacent carbon atoms;

R_{15} is H, or -OH, or R_{15} and R_{33} taken together are =O;

R_{16} is H, -OH or =O;

R_{17} is H, -OH or =O;

R_{18} is H, -OH, C_{1-6} alkoxy or C_{1-6} alkyl;

R_{19} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

R_{20} is H, -OH, C_{1-6} alkoxy or C_{1-6} alkyl;

R_{27} is H, -OH, C_{1-6} alkyl, C_{1-6} alkoxy or C_{1-6} hydroxyalkyl;

R_{28} and R_{29} are the same or different and are H or -OH;

R_{32} is H, -OH or =O; and

R_{33} is H, or R_{33} and R_{15} taken together are =O, or R_{33} and R_{14} taken together represent the second bond of a double bond joining adjacent carbon atoms.

47, A method of claim 46 in which R_{27} is H, C_{1-6} alkyl, or C_{1-6} alkoxy.

48, A method of claim 46 in which R_{27} is H, or C_{1-6} alkyl.

49. A method of claim 46 in which R_{19} is H, -OH, or C_{1-6} alkyl;.

50. A method of claim 46 in which R_{20} is –OH or C_{1-6} alkoxy.

51. A method of claim 46 in which

R_{12} is H or -OH

R_{13} is H or -OH;

R_{14} is H, or -OH or R_{14} and R_{33} taken together represent the second bond of a double bond joining adjacent carbon atoms;

R_{15} is H, or R_{15} and R_{33} taken together are =O;

R_{16} is H, -OH or =O;

R_{17} is H, -OH or =O;

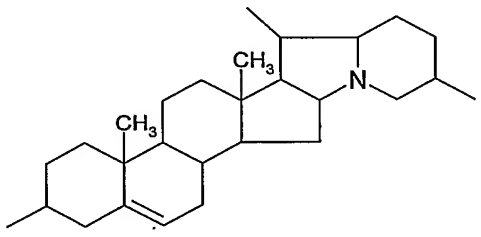
R_{18} is H, -OH or C_{1-6} alkoxy

R_{19} is C_{1-6} alkyl;

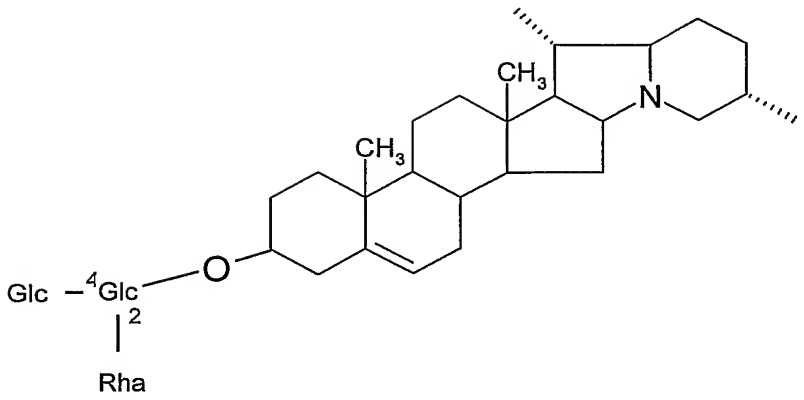
R_{32} is H, -OH or =O; and

R_{33} is H, or R_{33} and R_{15} taken together are =O, or R_{33} and R_{14} taken together represent the second bond of a double bond joining adjacent carbon atoms.

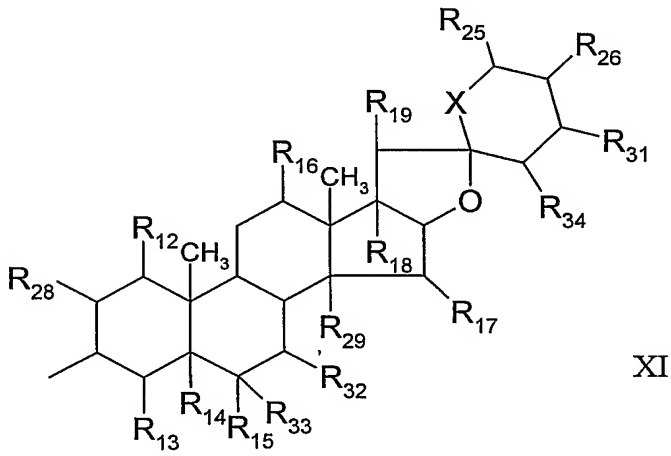
52. A method of claim 46 in which he compound of the steroid group is a compound of the formula IXa



53. A method of claim 46 in which the compound of the formula I is a compound of the formula:



54. A method of claim 1 in which the steroid group is of the formula XI:



XI

wherein:

R_{12} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

R_{13} is H, -OH, =O, or C_{1-6} alkyl;

R_{14} is H, -OH or C_{1-6} alkyl or R_{14} and R_{33} taken together represent the second bond of a double bond joining adjacent carbon atoms;

R_{15} is H, or -OH, or R_{15} and R_{33} taken together are =O;

R_{16} is H, -OH or =O;

R_{17} is H, -OH or =O;

R_{18} is H, -OH, C_{1-6} alkoxy or C_{1-6} alkyl;

R_{19} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

R_{25} is H, -OH, C_{1-6} alkyl or C_{1-6} alkoxy;

R_{26} is H, -OH, C_{1-6} alkyl, C_{1-6} hydroxyalkyl, C_{1-6}-alkoxy-C_{1-6}-alkyl, $=CH_2$ or $=CH$-C_{1-6}-alkyl;

R_{28} and R_{29} are the same or different and are H or -OH;

R_{31} is H or -OH;

R_{32} is H, -OH or =O;

R_{33} is H, or R_{33} and R_{15} taken together are =O, or R_{33} and R_{14} taken together represent the second bond of a double bond joining adjacent carbon atoms;

R_{34} is H or -OH; and

X is O, S or NH.

55. A method of claim 54 in which X is O or NH;

56. A method of claim 54 in which X is O;

57. A method of claim 54 wherein R_{26} is C_{1-6} alkyl, C_{1-6} hydroxyalkyl, C_{1-6}-alkoxy-C_{1-6}-alkyl, $=CH_2$ or $=CH$-C_{1-6}-alkyl.

58. A method of claim 54 wherein R_{26} is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or $=CH_2$.

59. A method of claim 54 wherein R_{26} is $-C_2H_4OH$, $-CH_2OH$, C_{1-6} alkyl, or $=CH_2$.

60. A method of claim 54 wherein R_{26} is $-C_2H_4OH$, $-CH_2OH$, $-C_2H_5$, $-CH_3$ or $=CH_2$.

61. A method of claim 54 wherein R_{26} is $-CH_3$ or $=CH_2$.

62. A method of claim 54 wherein R_{19} is H, -OH, C_{1-6} alkyl.

63. A method of claim 54 wherein R_{19} is C_{1-6} alkyl.

64. A method of claim 54 wherein:

R_{12} is H, or -OH;

R_{13} is H, or -OH;

R_{14} is H or R_{14} and R_{33} taken together represent the second bond of a double bond joining adjacent carbon atoms;

R_{15} is H, or R_{15} and R_{33} taken together are =O;

R_{18} is H or -OH;

R_{25} is H or -OH;

R_{28} and R_{29} are H;

R_{31} is H or -OH;

R_{33} is H, or R_{33} and R_{15} taken together are =O, or R_{33} and R_{14} taken together represent the second bond of a double bond joining adjacent carbon atoms; and

R_{34} is H or -OH.

65. A method of claim 54 wherein:

R_{15} is H;

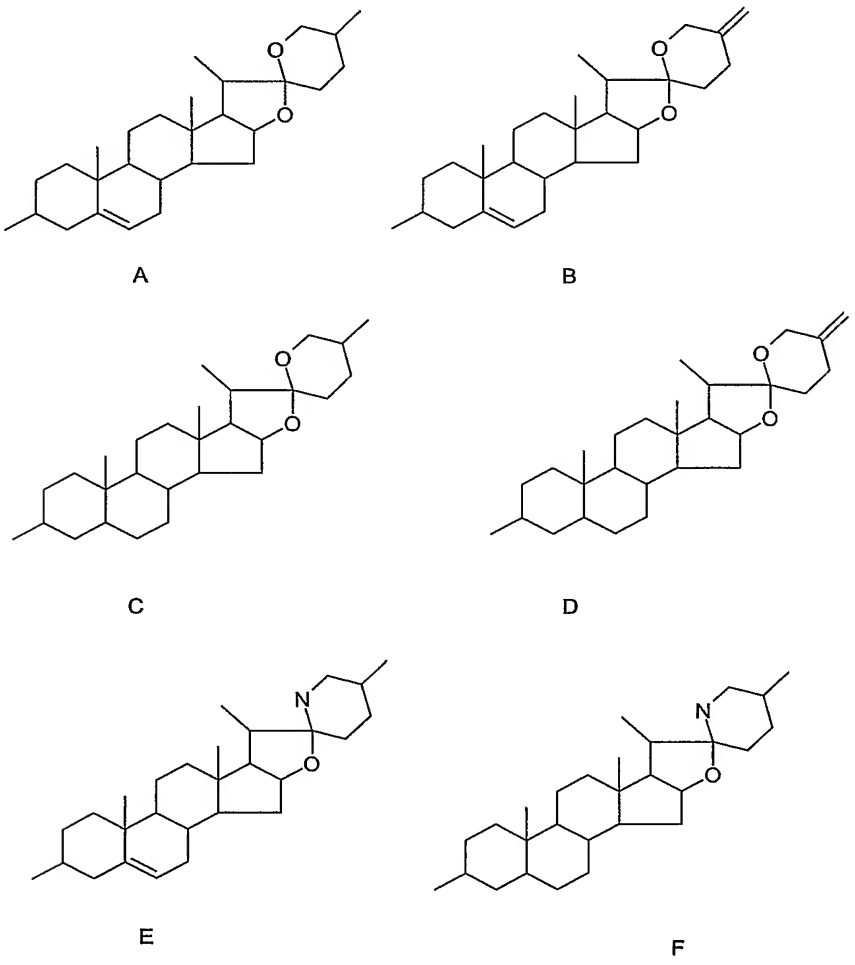
R_{16} is H or -OH;

R_{17} is H or -OH;

R_{32} is H or -OH; and

R_{33} is H, or R_{33} and R_{14} taken together represent the second bond of a double bond joining adjacent carbon atoms.

66. A method of claim 54 in which the steroid group of the formula XI is selected from the group consisting of:



67. A method of claim 54 in which the steroid group of the formula XI is selected from the group consisting of diosgenin, yamogenin, tigogenin, neotigogenin, sarsasapogenin, smilagenin, hecogenin, solasodine or tomatidine.

68. A method of claim 1 in which the compounds of the formula I are selected from the group consisting of:

Shatavarin IV which is sarsasapogenin 3-O-α-L-rhamnopyranosyl-(1→2)-O-

[β-D-glucopyranosyl-(1→4)]-β-D-glucopyranoside,

Compound 12 which is solasodine 3-O-α-L-rhamnopyranosyl-(1→2)-O-[β-D-glucopyranosyl-(1→4)]-β-D-glucopyranoside,

Deltonin which is (3β,25R)-spirost-5-en-3-yl-O-α-L-rhamnopyranosyl-(1→2)-O-[β-D-glucopyranosyl-(1→4)]-β-D-Glucopyranoside, and

Balanitin VI is (3β,25S)-spirost-5-en-3-yl-O-α-L-rhamnopyranosyl-(1→2)-O-[β-D-glucopyranosyl-(1→4)]-β-D-Glucopyranoside.

69. The method of claim 1 in which the condition is an inflammatory disease, asthma, rheumatoid arthritis, atherosclerosis, inflammatory bowel disease, diabetic cardiomyopathy, myocardial dysfunction, cancer, cancer metastasis or diabetic retinopathy.

70. The method of claim 1 in which the condition is leukaemia, oral cavity carcinomas, pulmonary cancers such as pulmonary adenocarcinoma, colorectal cancer, bladder carcinoma, liver tumours, stomach tumours colon tumours, prostate cancer, testicular tumour, mammary cancer, lung tumours oral cavity carcinomas and any cancers where core 2 GlcNAc-T expression is raised above normal levels for that tissue type.

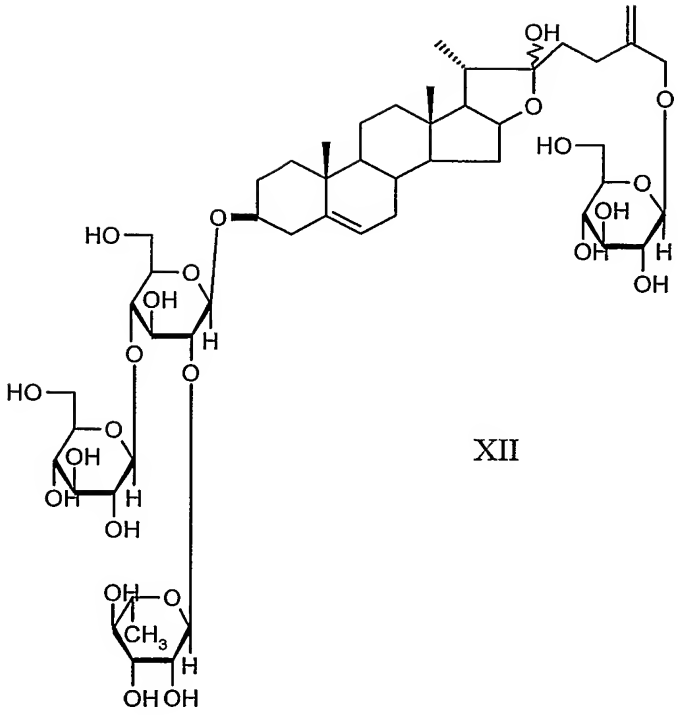
71. The use of a compound disclosed in the method of claims 1 to 69 in the manufacture of a medicament for the treatment of a condition associated with raised activity of the enzyme core 2 GlcNAc-T.

72. Use as described in claim 71 in which the condition is an inflammatory disease, asthma, rheumatoid arthritis, atherosclerosis inflammatory bowel disease, diabetic cardiomyopathy, myocardial dysfunction, cancer, cancer metastasis or diabetic retinopathy.

73. Use as described in claim 68 in which the condition is leukaemia, oral cavity carcinomas, pulmonary cancers such as pulmonary adenocarcinoma, colorectal cancer, bladder carcinoma, liver tumours, stomach tumours colon tumours, prostate cancer, testicular tumour, mammary cancer, lung tumours oral cavity carcinomas and any cancers where core 2 GlcNAc-T expression is raised above normal levels for that tissue type.

74. A pharmaceutical composition comprising a compound disclosed in the method of claims 1 to 69.

75. A compound of the formula:



XII

76. Use of the compound of the formula XII as described in claim 75 in therapy.